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Translation

PATENT COOPERATION TREATY

PCT

PCT/JP2004/003324



INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY
(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference WA-0902	FOR FURTHER ACTION See Form PCT/IPEA/416	
International application No. PCT/JP2004/003324	International filing date (day/month/year) 12 March 2004 (12.03.2004)	Priority date (day/month/year) 14 March 2003 (14.03.2003)
International Patent Classification (IPC) or national classification and IPC C07D 309/10, 405/04, 405/10, 407/04, 407/10, 409/04, 409/10, 409/14, 413/10, 417/10, 495/04, A61K 31/351, 31/381, 31/4035, 31/404, 31/41, 31/4184, 31/423, 31/428, 31/4433, 31/497, 31/5377, A61P 3/10, 3/04		
Applicant YAMANOUCHI PHARMACEUTICAL CO. LTD.		

- This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.
- This REPORT consists of a total of 6 sheets, including this cover sheet.
- This report is also accompanied by ANNEXES, comprising:
 - ☒ (sent to the applicant and to the International Bureau) a total of 2 sheets, as follows:
 - ☒ sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).
 - ☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.
 - ☐ (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).

- This report contains indications relating to the following items:

- ☒ Box No. I Basis of the report
- ☐ Box No. II Priority
- ☒ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- ☐ Box No. IV Lack of unity of invention
- ☒ Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- ☒ Box No. VI Certain documents cited
- ☐ Box No. VII Certain defects in the international application
- ☐ Box No. VIII Certain observations on the international application

Date of submission of the demand 26 August 2004 (26.08.2004)	Date of completion of this report 03 March 2005 (03.03.2005)
Name and mailing address of the IPEA/JP	Authorized officer
Facsimile No.	Telephone No.

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International application No.

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Box No. I Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

- ☐ This report is based on translations from the original language into the following language _____, which is language of a translation furnished for the purpose of:
- ☐ international search (under Rules 12.3 and 23.1(b))
 - ☐ publication of the international application (under Rule 12.4)
 - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)

2. With regard to the **elements** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

- ☐ The international application as originally filed/furnished
- ☒ the description:
- pages _____ 1-92 _____, as originally filed/furnished
- pages* _____ received by this Authority on _____
- pages* _____ received by this Authority on _____
- ☒ the claims:
- pages _____ 3-11 _____, as originally filed/furnished
- pages* _____, as amended (together with any statement) under Article 19
- pages* _____ 1, 2 _____ received by this Authority on _____ 26 August 2004 (26.08.2004)
- pages* _____ received by this Authority on _____
- ☐ the drawings:
- pages _____, as originally filed/furnished
- pages* _____ received by this Authority on _____
- pages* _____ received by this Authority on _____
- ☐ a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.

3. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages _____
- ☐ the claims, Nos. _____
- ☐ the drawings, sheets/figs _____
- ☐ the sequence listing (*specify*): _____
- ☐ any table(s) related to sequence listing (*specify*): _____

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

- ☐ the description, pages _____
- ☐ the claims, Nos. _____
- ☐ the drawings, sheets/figs _____
- ☐ the sequence listing (*specify*): _____
- ☐ any table(s) related to sequence listing (*specify*): _____

* If item 4 applies, some or all of those sheets may be marked "superseded."

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Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application.

☒ claims Nos. 12

because:

☒ the said international application, or the said claims Nos. 12 relate to the following subject matter which does not require an international preliminary examination (*specify*):

The subject matter of claim 12 relates to a method for treatment of the human body by therapy, which does not require an international preliminary examination by the International Preliminary Examining Authority.

☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. _____ are so unclear that no meaningful opinion could be formed (*specify*):

☐ the claims, or said claims Nos. _____ are so inadequately supported by the description that no meaningful opinion could be formed.

☒ no international search report has been established for said claims Nos. 12

☐ the nucleotide and/or amino acid sequence listing does not comply with the standard provided for in Annex C of the Administrative Instructions in that:

the written form

☐ has not been furnished

☐ does not comply with the standard

the computer readable form

☐ has not been furnished

☐ does not comply with the standard

☐ the tables related to the nucleotide and/or amino acid sequence listing, if in computer readable form only, do not comply with the technical requirements provided for in Annex C-bis of the Administrative Instructions.

☐ see Supplemental Box for further details.

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Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)

Claims

1-11

YES

Claims

NO

Inventive step (IS)

Claims

4-7

YES

Claims

1-3, 8-11

NO

Industrial applicability (IA)

Claims

1-11

YES

Claims

NO

2. Citations and explanations (Rule 70.7)

Document 1: "Synthesis and Antiviral Activity of Some New 1H-1,2,4-triazole Derivatives," (O. G. Todoulou, et al.), European Journal of Medicinal Chemistry, 1994, Vol. 29, pages 611-620

Document 2: WO, 98-31697, A1

Document 3: "Synthesis of C-glycosyltetrazoles Related to 3-deoxy-D-arabino-heptulosonic Acid 7-phosphate (DAHP); Potential Inhibitors of Early Steps in the Shikimate Pathway," (J. Grant Buchanan, et al.), Journal of the Chemical Society, Perkin Transactions 1, 1992, No. 20, pages 2593-2601

Document 4: JP, 6-199695, A

Document 5: "Inhibition of Lens Aldose Reductase by Flavonoids - Their Possible Role in the Prevention of Diabetic Cataracts," (Shambhu D. Varma, et al.), Biochemical Pharmacology, 1976, Vol. 25, No. 22, pages 2505-2513

Document 6: "Hypoglycemic Effect of Cecropia Obtusifolia on Streptozotocin Diabetic Rats," (Adolfo Andrade-Cetto, et al.), Journal of Ethnopharmacology, 2001, Vol. 78, pages 145-149

Document 7: "Hypoglycemic Effect of the Combined Use of Puerarin and Aspirin in Mice," (Zhufang Shen, et al.), Yaoxue Xuebao, 1985, Vol. 20, No. 11, pages 863-865

The subject matters of claims 1 and 8 do not appear to involve an inventive step in view of documents 1-7 cited in the ISR. Especially, a person skilled in the art could have easily conceived of compounds having a ring such as diazole or pyrazole from the triazole ring compound stated as compound 6a-j in document 1 and the tetrazole ring compound stated as compound 19 in document 3. Furthermore, document 2 (full text), document 4 (the claims), document 5 (page 2507), document 6 (compound 2) and document 7 (full text) describe compounds similar to the C-glycoside derivatives represented by the general formula (I) stated in claim 1, and documents 1-7 (full text) also describe that the said C-glycoside derivatives are used as drugs.

The subject matters of claims 2 and 3 do not appear to involve an inventive step in view of documents 1-3 cited in the ISR. Especially, a five- or six-membered monocyclic heteroaryl ring having 1 to 4 heteroatoms, selected from N and S other than triazole and tetrazole, could have been conceived of as the ring A, from the compound 6a-j of document 1 and the compound 19 of document 3, as described above. Furthermore, document 2 (pages 17-19) also describes that (1) the ring A can be a five-membered to fourteen-membered heteroaryl ring with 1 to 3 heteroatoms, selected from benzene, indene, N, S and O, and (2) the ring B can be a cycloalkyl with 1 to 10 carbon atoms such as perhydronaphthalene.

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Box No. VI Certain documents cited

1. Certain published documents (Rule 70.10)

Application No. Patent No.	Publication date (day/month/year)	Filing date (day/month/year)	Priority date (valid claim) (day/month/year)
WO 2004/013118 A1 [E, X]	12.02.2004	04.08.2003	05.08.2002
WO 03/087093 A1 [E, X]	23.10.2003	08.04.2002	
WO 03/094928 A1 [E, X]	20.11.2003	28.04.2003	06.05.2002
WO 03/082887 A1 [E, X]	09.10.2003	28.03.2002	
US 6617313 B1 [E, X]	09.09.2003	13.05.2002	

2. Non-written disclosures (Rule 70.9)

Kind of non-written disclosure	Date of non-written disclosure (day/month/year)	Date of written disclosure referring to non-written disclosure (day/month/year)

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Supplemental Box

In case the space in any of the preceding boxes is not sufficient.
Continuation of: IPC

Int. Cl⁷ A61K31/497,31/5377,A61P3/10,3/04

Continuation of: V

The subject matters of claims 9-11 do not appear to involve an inventive step in view of documents 1-7 cited in the ISR. Document 2 (page 46), document 4 (the claims) and documents 5-7 (full text) respectively describe that C-glycoside derivatives are used for therapy of diabetes. Claims 9 and 11 relate to a Na⁺-glucose cotransporter inhibitor, and a Na⁺-glucose cotransporter inhibitor includes a therapeutic agent for diabetes. So, a person skilled in the art could have easily confirmed the medicinal effects described in documents 2 and 4-7 using similar compounds.

The subject matters of claims 4-7 appear to be novel and to involve an inventive step, since they are neither described nor suggested in any of the documents cited in the ISR. Especially, the documents neither describe nor suggest a compound, in which (1) the ring A is a benzene ring, while the ring B is a saturated or unsaturated eight-membered to ten-membered dicyclic heterocyclic ring having 1 to 4 heteroatoms, selected from N, S and O, and (2) in the case where the said ring B contains a benzene ring, X is bonded to the ring B at any portion other than the benzene ring contained in the ring B.